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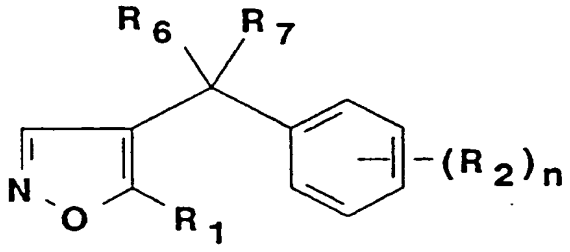
(71) Applicant : **RHONE-POULENC AGRICULTURE LTD.**
Fyfield Road
Ongar, Essex CM5 0HW (GB)

(72) Inventor : **Cain, Paul A., Res. Station of Rhone-Poulenc**
Agriculture Ltd., Fyfield Road
Ongar, Essex CM5 0HW (GB)
Inventor : **Cramp, Susan Mary, Res. Station of Rhone-Poulenc**
Agriculture Ltd., Fyfield Road
Ongar, Essex CM5 0HW (GB)
Inventor : **Little, Gillian M., Res. Station of Rhone-Poulenc**
Agriculture Ltd., Fyfield Road
Ongar, Essex CM5 0HW (GB)

(74) Representative : **Bentham, Stephen et al**
J.A. Kemp & Co. 14 South Square Gray's Inn
London, WC1R 5LX (GB)

(54) Isoxazole derivatives, process for their preparation and their herbicidal applications.

(57) Compounds of the formula :



(I)

wherein
R_1 represents :
a group such as alkyl, cycloalkyl, haloalkyl, aryl or ester ;
R_2 represents :
a group such as nitro, cyano, halogen, R_5, $S(O)_mR_5$, ester or alkoxy ;
R_6 represents alkyl or haloalkyl ;
R_8 represents :
a group such as hydrogen, hydroxy, halogen, R_5, cycloalkyl, $S(O)_mR_5$ or ester ;
R_7 represents hydrogen or R_5 ;
and agriculturally acceptable salts thereof ;
the herbicidal properties of these compounds and their application to crop protection, are described.

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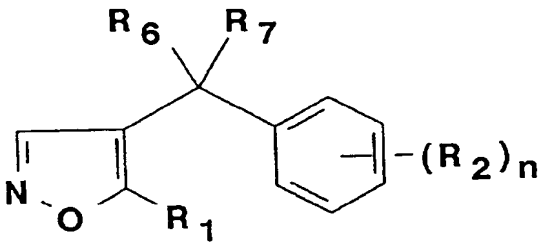
This invention relates to novel 4-benzyl isoxazole derivatives, compositions containing them and their use as herbicides. The present invention relates to 4-benzyl isoxazole derivatives of general formula (I):



(I)

wherein R_1 represents:

a straight- or branched- chain alkyl, alkenyl or alkynyl group containing up to 6 carbon atoms which may be optionally substituted by one or more halogen atoms; or

a cycloalkyl group containing from 3 to 6 carbon atoms which may be optionally substituted by one or more R_5 groups or one or more halogen atoms or a group $-COOR_5$; or

a cycloalkenyl group containing 5 or 6 carbon atoms which may be optionally substituted by one or more R_5 groups or one or more halogen atoms or a group $COOR_5$; or

an aryl or aralkyl (e.g. benzyl) group of general formula $[(R_2)_q$ phenyl]-$[C(R_3)(R_4)]p$- (aryl is generally C6-C10 and aralkyl is generally C7-C11); or

an ester group, $COOR_5$; or

an aldehyde or acyl group, COR_3; or

a cyano or nitro group; or

an amino group, $-NR_3R_4$; or

a halogen atom (i.e. F,Cl,Br,I);

R_2 represents:

a nitro or cyano group; or

a halogen atom (i.e. F,Cl,Br,I); or

a group, R_5; or

a sulphenyl, sulphinyl or sulphonyl group, $-S(O)_mR_5$; or

a sulphamoyl group, $-SO_2NR_3R_4$; or

an ester group, $-COOR_5$; or

an acyl or aldehyde group, $-COR_3$; or

a carbamoyl or thiocarbamoyl group $-CONR_3R_4$ or $-CSNR_3R_4$; or

an alkoxy group, $-OR_5$; or

an alkyl group (with 1 to 3 carbon atoms) substituted by $-OR_5$;

R_3 and R_4, which may be the same or different, each represents:

a hydrogen atom or a straight- or branched- chain alkyl group containing up to 6 carbon atoms which is optionally substituted by one or more halogen atoms;

R_5 represents:

a straight- or branched-chain alkyl group containing up to 6 carbon atoms which is optionally substituted by one or more halogen atoms;

R_6 represents:

a hydrogen atom; or

a hydroxy group, OH; or

a halogen atom (i.e. F,Cl,Br,I); or

a group R_5; or

an alkenyl group containing up to 6 carbon atoms which may be optionally substituted by one or more halogen atoms; or

a cycloalkyl group containing from 3 to 6 carbon atoms which may be optionally substituted by one or more R_5 groups or one or more halogen atoms or a group $-COOR_5$; or

a sulphenyl, sulphinyl or sulphonyl group, $-S(O)_mR_5$; or

an ester group, $-COOR_5$; or

a cyano group; or
an acyl or aldehyde group, -COR_3; or
a carbamoyl or thiocarbamoyl group, -$CONR_3R_4$ or -$CSNR_3R_4$;
Or
an alkoxy group, -OR_5; or
a phenoxy group, -O-phenyl-$(R_2)q$; or
a benzoyloxy group, -OCH_2-phenyl-$(R_2)q$; or
an acyloxy group, -$OCOR_8$; or
a benzoyloxy group, -OCO-phenyl-$(R_2)q$; or
a group -OCO-Het1; or
a carbamoyloxy group, -$OCONR_3R_4$; or
an alkylsulphonyloxy group, -OSO_2R_8; or
a phenylsulphonyloxy group, -OSO_2-phenyl-$(R_2)q$
a sulphamoyloxy group, -$OSO_2NR_3R_4$; or
an amino group, -NR_3R_4; or
an acylamino group, -NR_3COR_5; or
a group Het2;
R_7 represents:
a hydrogen atom; or
a group, R_5;
or R_8 and R_7 may form with the carbon atom to which they are attached, a ketal ($(OR_5)_2$), or a thioketal ($(SR_5)_2$), or a cyclic ketal or cyclic thioketal containing 5 or 6 atoms in the ring optionally substituted by one or more R_5 groups;
R_8 represents:
a straight- or branched- chain alkyl or alkenyl group containing up to 6 carbon atoms which may be optionally substituted by one or more halogen atoms; or
a cycloalkyl group containing from 3 to 6 carbon atoms which may be optionally substituted by one or more R_5 groups or one or more halogen atoms or a group -$COOR_5$;
Het1 represents a heterocycle containing 5 or 6 atoms in the ring, one or more of which is a heteroatom selected from nitrogen, sulphur and oxygen, the ring carbon atoms being optionally substituted by a group R_2;
Het2 represents a heterocyclic group selected from: pyrrol-1-yl, pyrazol-l-yl, imidazol-1-yl, 1,2,4-triazol-4-yl, 1,2,4-triazoyl-l-yl, 1,2,3-triazol-l-yl or 1,2,3-triazol-2-yl; each of which may be optionally substituted by one or more groups R_2;
m represents zero, 1, or 2;
n represents an integer from 1 to 5;
q represents zero or an integer from 1 to 5;
p represents zero or 1;
and agriculturally acceptable salts thereof, which possess valuable herbicidal properties.

It is to be understood that when n or q is an integer from 2 to 5 the substituents represented by R_2 may be the same or different.

Furthermore in certain cases the substituents R_1, R_2, R_3, R_4, R_5, R_8, R_7 and R_8 contribute to optical and/or stereoisomerism. All such forms are embraced by the present invention.

By the term "agriculturally acceptable salts" is meant salts the cations or anions of which are known and accepted in the art for the formation of salts for agricultural or horticultural uses. Preferably the salts are water-soluble. Suitable salts with bases include alkali metal (e.g. sodium and potassium), ammonium and amine (e.g. diethanolamine, triethanolamine, octylamine, morpholine and dioctylmethylamine) salts. Suitable acid addition salts of the compounds of general formula (I), which may incorporate an amino radical, include salts with inorganic acids, for example hydrochlorides, sulphates, phosphates and nitrates and salts with organic acids, for example acetic acid.

It is to be understood that where reference is made in the present specification to the compounds of general formula (I), such reference is intended to include also the salts with agriculturally acceptable acids or bases of compounds of general formula (I) where appropriate.

Preferred compounds of formula (I) are those wherein

a) one of the groups represented by $(R_2)_n$ is in the ortho position on the phenyl ring; and/or

b) where there are two groups represented by $(R_2)_n$ they are in the 2-and 4- positions on the phenyl ring; and/or

c) R_1 is alkyl optionally substituted by halogen; or cycloalkyl optionally substituted by alkyl or halogen; most preferably cyclopropyl, 1-methylcyclopropyl or isopropyl; and/or

d) R_2 is halogen; or nitro; or R_5; or $-S(O)_mR_5$; or $-OR_6$; or alkyl substituted by $-OR_6$; or $-COOR_5$; and/or
e) R_5 is alkyl (with 1 to 3 carbon atoms) optionally substituted by fluorine or chlorine; and/or
f) R_6 is hydrogen, halogen, -OH, $-OR_5$, $-OCOR_8$, or alkyl (with one to four carbon atoms) optionally substituted by one or more halogen atoms; most preferably halogen, -OH, $-OR_5$ or $-OCOR_8$; and/or
g) One of the groups R_6 or R_7 is a hydrogen atom; and/or
h) R_7 is hydrogen or an alkyl group containing from one to four carbon atoms; and/or
i) R_8 is an alkyl group containing from one to four carbon atoms optionally substituted by one or more halogen atoms; and/or
j) n is 2 or 3.

Among the particularly preferred compounds of formula (I) because of their herbicidal properties are:
a) 4-[hydroxy-(2-nitro-4-trifluoromethylphenyl)methyl]-5-cyclopropyl-isoxazole;
b) 4-[hydroxy-(2-nitro-4-trifluoromethylphenyl)methyl]-5-methyl isoxazole;
c) 4-[hydroxy-(2-chloro-3-ethoxy-4-methanesulphonylphenyl)methyl]-5-cyclopropylisoxazole;
d) 4-[acetoxy-(2-nitro-4-trifluoromethylphenyl)methyl]-5-cyclopropyl-isoxazole;
e) 4-[chloro-(2-nitro-4-trifluoromethylphenyl)methyl]-5-cyclopropyl-isoxazole;
f) 4-[bromo-(2-nitro-4-trifluoromethylphenyl)methyl]-5-cyclopropyl-isoxazole;
g) 4-[N,N-dimethylamino-(2-nitro-4-trifluoromethylphenyl)methyl]-5-cyclopropylisoxazole;
h) 4-[hydroxy-(2-chloro-4-methanesulphonylphenyl)methyl]-5-cyclopropylisoxazole;
i) 4-[methoxy-(2-nitro-4-trifluoromethylphenyl)methyl]-5-cyclopropylisoxazole;
j) 4-[hydroxy-(2-nitro-4-methylphenyl)methyl]-5-cyclopropylisoxazole;
k) 4-[hydroxy-(2-chloro-3-ethoxy4-ethanesulphonylphenyl)methyl]-5-methylisoxazole;
l) 4-[hydroxy-(2-chloro-3-ethoxy-4-ethanesulphonylphenyl)methyl]-5-cyclopropylisoxazole;
m) 4-[acetoxy-(2-chloro-4-methanesulphonylphenyl)methyl]-5-cyclopropylisoxazole;
n) 4-[methoxy-(2-chloro-4-methanesulphonylphenyl)methyl]-5-cyclopropylisoxazole;
o) 4-[hydroxy-(2-chloro-4-fluorophenyl)methyl]-5-cyclopropylisoxazole;
p) 4-[hydroxy-(2-trifluoromethyl-4-methanesulphonylphenyl)methyl]-5-cyclopropylisoxazole;
q) 4-[acetoxy-(2-trifluoromethyl-4-methanesulphonylphenyl)methyl]-5-cyclopropylisoxazole;
r) 4-[methoxy-(2-trifluoromethyl-4-methanesulphonylphenyl)methyl]-5-cyclopropylisoxazole;
s) 4-[bromo-(2-trifluoromethyl-4-methanesulphonylphenyl)methyl]-5-cyclopropylisoxazole;
t) 4-[chloro-(2-trifluoromethyl-4-methanesulphonylphenyl)methyl]-5-cyclopropylisoxazole;
u) 4-[trifluoroacetoxy-(2-trifluoromethyl-4-methanesulphonylphenyl) methyl]-5-cyclopropylisoxazole;
v) 4-[hydroxy-(2-methanesulphonylphenyl)methyl]-5-cyclopropyl-isoxazole;
w) 4-[hydroxy-(2,3-dichloro-4-methanesulphonylphenyl)methyl]-5-(1-methylcyclopropyl)isoxazole;
x) 4-[hydroxy-(2-methanesulphonyl-4-chlorophenyl)methyl]-5-cyclopropylisoxazole;
y) 4-[hydroxy-(2-bromo-4-methanesulphonylphenyl)methyl]-5-cyclopropylisoxazole;
z) 4-[hydroxy-(2-methanesulphonyl-4-bromophenyl)methyl]-5-cyclopropylisoxazole;
aa) 4-[hydroxy-(2-methyl-4-methanesulphonylphenyl)methyl]-5-cyclopropylisoxazole;
ab) 4-[hydroxy-(2-chloro-4-methanethiophenyl)methyl]-5-cyclopropylisoxazole;
ac) 4-[hydroxy-(2-methanesulphonyl-4-trifluoromethylphenyl)methyl]-5-cyclopropylisoxazole;
ad) 4-[hydroxy-(2-chloro-4-methanesulphinylphenyl)methyl]-5-cyclopropylisoxazole;
ae) 4-[hydroxy-(2-trifluoromethyl-4-methanethiophenyl)methyl]-5-cyclopropylisoxazole;
af) 4-[hydroxy-(2-fluoro-4-methanesulphonylphenyl)methyl]-5-cyclopropylisoxazole;
ag) 4-[hydroxy-(2-methanesulphonyl-4-chlorophenyl)methyl]-5-isopropylisoxazole; and
ah) 4-[hydroxy-(2-methanesulphonyl-4-chlorophenyl)methyl]-5-(1-methylcyclopropyl)isoxazole.

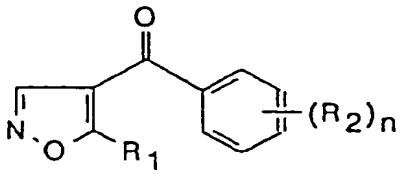
The letters a to ah are assigned to the above compounds for identification and reference hereinafter.

The compounds of general formula (I) can be prepared by the application or adaptation of known methods (i.e. methods heretofore used or described in the chemical literature), for example as hereinafter described.

In the following description where symbols appearing in formulae are not specifically defined it is to be understood that they are "as hereinbefore defined" in accordance with the first definition of each symbol in this specification.

It is to be understood that in the descriptions of the following processes, that the sequences may be performed in different orders and that suitable protecting groups may be required to achieve the compounds sought.

According to a feature of the present invention the compounds of general formula (I), wherein R_6 is an OH group and R_7 represents the hydrogen atom, may be prepared by the reduction of a compound of general formula (II):



(II)

using, for example sodium borohydride in a polar solvent such as ethanol and at temperatures from 0° to 50°C. It is to be understood that functionality in either R_1 or R_2 which might be reactive to these conditions is to be selectively protected.

Furthermore, compounds of general formula (I) wherein R_6 is an -OH group and R_7 is an R_5 group may be prepared by reaction of a compound of general formula (II) with an appropriate organometallic reagent such as a Grignard reagent, in an inert solvent, such as ether or glyme and at temperatures from 0°C to the refluxing temperature of the solvent.

Compounds of general formula (I) may be converted into other compounds of general formula (I).

According to a further feature of the present invention, compounds of general formula (I) in which R_6 is -CO_2R_5 may be prepared from compounds of general formula (I) wherein R_6 is a cyano group by hydrolysis of the cyano group to the corresponding carboxylic acid which is subsquently esterified by known methods, for example by treatment with thionyl chloride followed by reaction with an alcohol of formula R_5-OH.

According to a further feature of the present invention compounds of general formula (I) wherein R_6 is an -OH group and R_7 is a hydrogen atom or R_5 group, may be converted into other compounds of general formula (I) wherein R_6 is an -OCOR$_8$ group, or an -OCO-phenyl-(R_2)q group, or anOCH_2-phenyl-(R_2)q group, or an -O-phenyl-(R_2)q group, or an -OCO-Het1 group, or an -OCONR$_3$R$_4$ group, or an -OSO_2R_8 group, or an -OSO_2-phenyl-(R_2)q group, or an -$OSO_2NR_3R_4$ group, and R_7 is a hydrogen atom or an R_5 group, by the reaction of a compound of general formula (I) in wich R_6 is a hydroxy group and R_7 is hydrogen or an R_5 group, with the corresponding halogen compound in the presence of a suitable base such as pyridine in an inert solvent such as dichloromethane, and at temperatures from 0°C to the refluxing temperature of the solvent.

Compounds of general formula (I) wherein R_6 is a chlorine or bromine atom and R_7 is a hydrogen atom or R_5 group, may be prepared from compounds of general formula (I) wherein R_6 is a hydroxy group and R_7 is a hydrogen atom or an R_5 group, by reaction with a halogenating agent such as, for example phosphorous trichloride or phosphorous tribromide, in an inert solvent such as ether or dichloromethane, and at temperatures from 0°C to the refluxing temperature of the solvent.

Alternatively, compounds of general formula (I) wherein R_6 is a halogen atom or a cyano group and R_7 is a hydrogen or R_5 group may be prepared from compounds of general formula (I) in wich R_6 is a hydroxyl group and R_7 is a hydrogen atom or R_5 group by first conversion of the hydroxyl group to a leaving group such as the mesylate or tosylate group followed by reaction with an alkali metal halide such as sodium iodide or cesium fluoride or reaction with a tetraalkylammonium halide, for example, tetra-n-butylammonium, or an alkali metal cyanide such as potassium cyanide.

According to a further feature of the present invention compounds of general formula (I) wherein R_6 is an -OR_5 group, or an -SR_5 group, or a group Het2, or an -NR_3R_4 group, may be prepared by substitution of the halogen atom of compounds of general formula (I) in which R_6 is a halogen atom, with a suitable nucleophile in an inert solvent, and at temperatures from 0 to 50°C.

According to a further feature of the present invention compounds of general formula (I) wherein R_6 is an -SOR_5 group or an -SO_2R_5 group may be prepared by oxidation of the corresponding compound of general formula (I) in which R_6 is an -SR_5 group using, for example meta-chloroperoxybenzoic acid in an inert solvent, and at temperatures from 0°C to the refluxing temperature of the solvent.

According to a further feature of the present invention, compounds of formula (I) in which R_6 is an -OR_5 group or an -SR_5 group and R_7 is an -OR_5 group or an -SR_5 group, or R_6 and R_7 represent a cyclic ketal or cyclic thioketal, may be prepared by treating compounds of general formula (II) with the appropriate alcohol or thiol in an inert solvent such as toluene in the presence of an acid catalyst such as para-toluenesulphonic acid at from room temperature to the boiling point of the solvent.

According to a further feature of the present invention, compounds of formula (I) wherein R_6represents a ketone group -COR_3 in which R_3 excludes hydrogen may be prepared from the corresponding compound in which R_6 represents a cyano group by reaction with an organometallic reagent such as a Grignard reagent in an inert solvent such as ether or tetrahydrofuran from room temperature to the reflux temperature of the solvent.

According to a further feature of the present invention, compounds of formula (I) wherein R_6 represents an aldehyde group $-COR_3$ in which R_3 represents hydrogen may be prepared from the corresponding compound in wich R_6 represents a cyano group by reduction using diisobutylaluminium hydride in an inert solvent such as ether or tetrahydrofuran.

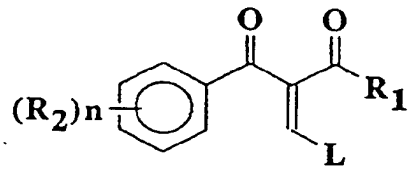
According to a further feature of the present invention, compounds of formula (I) in which R_6 represents a group $-NR_3COR_5$ may be prepared from the corresponding compound in which R_6 represents a group $-NHR_3$ by reaction with an acyl compound of formula R_5CO-X wherein X represents a leaving group such as chlorine.

According to a further feature of the present invention, compounds of formula (I) in which R_6 represent an amide group, $-CONR_3R_4$ may be prepared from the corresponding compound in which R_6 represents an ester group $-CO_2R_5$ by acidic hydrolysis of said ester group followed by conversion of the carboxylic and thus obtained to the corresponding and chloride using for example thionyl chloride. The acid chloride may be converted to the amide by treatment with an amine of formula $H-NR_3R_4$.

According to a further feature of the present invention, compounds wherein R_6 represents a group $-CSNR_3R_4$ may be prepared by reacting compounds in which R_6 represents a group $-CONR_3R_4$ with Lawesson's reagent, [2,4-bis(4-methoxyphenyl)- 1,3-dithia-2,4-diphosphetane-2,4-disulfide] as described in, for example Synthesis,941 (1979)

Intermediates in the preparation of compounds of general formula (I) are prepared by the application or adaptation of known methods.

Compounds of general formula (II) may be prepared by the reaction of a compound of general formula (III):



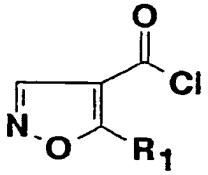
(III)

wherein L is a leaving group such as ethoxy or dimethylamino, with a salt of hydroxylamine, for example hydroxylamine hydrochloride in a polar solvent such as ethanol at the appropriate pH, and at temperatures from ambient to the refluxing temperature of the solvent.

Compounds of general formula (III) may be prepared as described by Schwan et al.,(J. Heterocyclic Chem., 1976, 13, 973.) by for example, reacting the appropriate dione with for example, triethylorthoformate, in the presence of an acid catalyst, such as acetic anhydride.

Compounds of formula (III) in which L represents a dimethylamino group may be prepared by reacting the appropriate dione with a dimethylformamide dialkyacetal.

Compounds of general formula (II) may also be prepared by the reaction of a compound of general formula (IV):



(IV)

with an appropriately substituted benzene in the presence of a Lewis acid catalyst such as aluminium chloride in an inert solvent such as dichloromethane and at temperatures from 0 to 50°C.

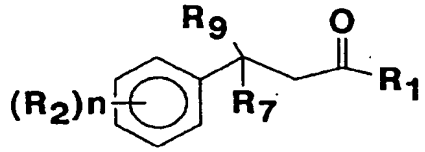
Compounds in which R_2 represents an $-SOR_5$ group or an $-SO_2R_5$ group may be prepared by oxidation of the corresponding compound in which R_2 represents an $-SR_5$ group using, for example meta-chloroperoxybenzoic acid in an inert solvent, and at temperatures from 0°C to the refluxing temperature of the solvent.

Compounds of general formula (IV) may be prepared as described by Doleschall and Seres [J. Chem. Soc.

Perkin Trans. I,(1988),1875] or an adaptation thereof.

According to a further feature of the present invention, compounds of general formula (I) in which R_6 represents a group selected from R_5; an alkenyl group containing up to 6 carbon atoms which may be optionally substituted by one or more halogen atoms; or a cycloalkyl group containing from 3 to 6 carbon atoms which may be optionally substituted by one or more R_5 groups or one or more halogen atoms or a group $-COOR_5$;

may be prepared by reaction of a compound of general formula (V):



(V)

wherein R_9 represents a group selected from R_5; an alkenyl group containing up to 6 carbon atoms which may be optionally substituted by one or more halogen atoms; or a cycloalkyl group containing from 3 to 6 carbon atoms which may be optionally substituted by one or more R_5 groups or one or more halogen atoms or a group $-COOR_5$;

with a trialkylorthoformate, for example triethylorthoformate in the presence of an acid catalyst, such as acetic anhydride, followed by cyclisation to the desired isoxazole using a salt of hydroxylamine, optionally in the presence of a base such as triethylamine, in an inert solvent and at temperatures from 0 to 50°C.

The following examples illustrate the preparation of compounds of general formula (I). In the present specification, b.p. means boiling point, m.p. means melting point (average). When the letters NMR appear, this means that the characteristics of the proton nuclear magnetic resonance spectrum follow. If not otherwise specified the percentages are by weight.

EXAMPLE 1

Compound a,b,c,h,j,k,l,o,p,v,w,x,y,z,aa,ab,ac,ad,ae,af,ag,ah.

A mixture of 4-[2-nitro-4-trifluoromethylbenzoyl]-5-cyclopropyl-isoxazole (8.00g) and sodium borohydride (0.53g) in ethanol (250ml) was stirred at room temperature for 2 hours. The solution was evaporated almost to dryness and the resultant solution was diluted with ethyl acetate. The solution was washed with water, dried (anhydrous magnesium sulphate) and filtered. The titrate was evaporated to dryness. The residue was purified by chromatography on silica eluted with a mixture of ether and petroleum ether to give 4-[hydroxy-(2-nitro-4-trifluoromethylphenyl)methyl]-5-cyclopropylisoxazole (5.95g) as an off white solid: m.p. 76°C.

By proceeding in a similar manner the following compounds of general formula (I) were prepared:

Compound No.	R_1	$(R_2)_n$	R_6	R_7	m.p.
b	Methyl	2-NO_2-4-CF_3	H	OH	90°
c	Cyclopropyl	2-Cl-3-OEt-4-SO_2Me	H	OH	*
NMR: ($CDCl_3$) 1.0 (4H,m) 1.4 (3H,t) 2.1 (1H,m) 3.16 (3H,s) 3.6 (1H,br.s) 4.37 (2H,q) 6.1(1H,s) 7.69 (1H,m) 7.8 (1H,s) 7.81 (1H,m).					
h	Cyclopropyl	2-Cl-4-SO_2Me	H	OH	141°C
j	Cyclopropyl	2-NO_2-4-Me	H	OH	82°C
k	Methyl	2-Cl-3-OEt-4-SO_2Et	H	OH	*
NMR: ($CDCl_3$) 1.2 (3H,t) 1.5 (3H,t) 2.6 (3H,s) 3.4 (2H,q) 4.3 (2H,q) 7.2 (1H,d) 8.0 (1H, d) 8.2 (1H,s).					
l	Cyclopropyl	2-Cl-3-OEt-4-SO_2Et	H	OH	*
NMR: ($CDCl_3$) 1.2 (5H, m) 1.3 (2H,m) 1.5 (3H,t) 2.6 (1H,m) 3.5 (2H,q) 4.4 (2H,q) 7.3 (1H,d) 8.0 (1H,d) 8.2 (1H,s).					

o	Cyclopropyl	2-Cl-4-F	H	OH	*

NMR: ($CDCl_3$) 1.1 (2H,m) 1.3 (2H,m) 2.5 (1H,m) 7.0 (1H,m) 7.1 (1H,m) 7.3 (1H,m) 8.1 (1H,s).

p	Cyclopropyl	2-CF_3-4-SO_2Me	H	OH	90°C
v	Cyclopropyl	2-SO_2Me	H	OH	118°C
w	1-Methylcyclopropyl	2,3-Cl_2-4-SO_2Me	H	OH	205°C
x	Cyclopropyl	2-SO_2Me-4-Cl	H	OH	91.5°C
y	Cyclopropyl	2-Br-4-SO_2Me	H	OH	148°C
z	Cyclopropyl	2-SO_2Me-4-Br	H	OH	95°C
aa	Cyclopropyl	2-CH_3-4-SO_2Me	H	OH	136°C
ab	Cyclopropyl	2-Cl-4-SMe	H	OH	104°C
ac	Cyclopropyl	2-SO_2Me-4-CF_3	H	OH	89°C
ad	Cyclopropyl	2-Cl-4-$SOCH_3$	H	OH	*

NMR (DMSO): 0.8 (2H,m). 0.9 (2H,m). 2.2 (1H,m) 2.8 (3H,s) 6.1 (H,br.d) 6.3 (1H,br.d) 7.6 (2H,m) 8.0 (1H,m) 8.2 (1H, s).

ae	Cyclopropyl	2-CF_3-4-SMe	H	OH	91°C
af	Cyclopropyl	2-F-4-SO_2Me	H	OH	101°C
ag	Isopropyl	2-SO_2Me-4-Cl	H	OH	145°C
ah	1-Methylcyclopropyl	2-SO_2Me-4-Cl	H	OH	154°C

EXAMPLE 2

Compound (d), (m), (q), and (u)

A mixture of 4-[hydroxy-(2-nitro-4-trifluoromethylphenyl)methyl]-5-cyclopropylisoxazole (0.69g), acetyl chloride (0.27g) and pyridine (0.10g) in dichloromethane (40ml) was stirred at 0°C for 4 hours. The mixture was quenched with water and extracted with dichloromethane. The organic extracts were dried (anhydrous magnesium sulphate) and filtered. The filtrate was evaporated to dryness.The residue was triturated with ether/petroleum ether to give 4-[acetoxy-(2-nitro-4-trifluoromethylphenyl)methyl]-5-cyclopropylisoxazole

(0.46g) as a yellow solid: m.p. 82°C.

By proceeding in a similar manner the following compounds of formula (I) were prepared:

Compound No.	R_1	$(R_2)_n$	R_6	R_7	m.p.
m	Cyclopropyl	2-Cl-4-SO_2Me	H	-OCOMe	*
NMR ($CDCl_3$): 1.1 (2H,m) 1.2 (2H,m) 2.1 (3H,s) 2.2 (1H,m) 3.0 (3H,s) 7.1 (1H,s) 7.9 (3H,m) 8.0 (1H,s).					
q	Cyclopropyl	2-CF_3-4-SO_2Me	H	-OCOMe	149°C
u	Cyclopropyl	2-CF_3-4-SO_2Me	H	-$OCOCF_3$	117°C

EXAMPLE 3

Compound (e) and (t)

A mixture of 4-[hydroxy-(2-nitro-4-trifluoromethylphenyl)methyl]-5-cyclopropylisoxazole (0.89g) and phosphorous trichloride (0.57g) in dichloromethane (50ml) were stirred at 0°C for 2 hours. The reaction mixture was quenched with water and extracted with dichloromethane. The organic extracts were dried (anhydrous magnesium sulphate), filtered and evaporated to dryness. The crude product was purified by column chromatography on sPca eluted with a mixture of petroleum ether/ethyl acetate to give 4-[chloro-(2-nitro-4-trifluoromethylphenyl)methyl]-5-cyclopropylisoxazole (0.79g) as a yellow oil: NMR: ($CDCl_3$) 1.1 (4H,m) 1.9(1H,m) 6.9(1H,s) 7.9(1H,m) 8.0(1H,s) 8.25(2H,m).

By proceeding in a similar manner the following compound was prepared: 4-[Chloro-(2-trifluoromethyl-4-methanesulphonylphenyl)methyl]-5-cyclopropyl-isoxazole: m.p. 145°C.

EXAMPLE 4

Compound (f) and (s).

A mixture of 4-[hydroxy-(2-nitro-4-trifluoromethylphenyl)methyl]-5-cyclopropylisoxazole (1.0g), phosphorous tribromide (0.70g) and pyridine (0.05g) in dry ether was stirred at 0°C for 1.5 hours. The reaction mixture was quenched with water and extracted with ether. The organic extracts were dried (anhydrous magnesium sulphate), filtered and the solvent evaporated yielding 4-[bromo-(2-nitro-4-trifluoromethylphenyl)methyl]-5-cyclopropylisoxazole (1.18g) as a yellow oil: NMR: ($CDCl_3$) 1.1(4H,m) 1.9(1H,m) 6.9(1H,s) 7.9(1H,m) 8.0(1H,s) 8.15(2H,m).

By proceeding in a similar manner the following compounds were prepared:

4-[bromo-(2-trifluoromethyl-4-methanesulphonylphenyl)methyl]-5-cyclopropylisoxazole: m.p. 146°C.

4-[bromo-(2-chloro-4-methanesulphonylphenyl)methyl]-5-cyclopropylisoxazole: NMR ($CDCl_3$); 1.2(4H,m), 1.9(1H,m), 3.1 (3H,s), 6.5 (1H,s), 7.9 (3H,m), 8.1 (1H,s).

EXAMPLE 5

Compound (g)

A mixture of 4-[bromo-(2-nitro-4-trifluoromethylphenyl)methyl]-5-cyclopropylisoxazole (1.2g) and dimethylamine (2.0g of a 33% solution in ethanol) in dichloromethane was stirred at 0°C for 2 hours and then at room temperature for a further 16 hours. The reaction mixture was quenched with water and extracted with dichloromethane. The organic extracts were dried (anhydrous magnesium sulphate), filtered and evaporated to dryness. The residue was purified by column chromatography on silica eluting with petroleum ether and ethyl acetate to give 4-[N,N-dimethylamino-(2-nitro-4-trifluoromethylphenyl)methyl]-5-cyclopropyl-isoxazole (0.27g) as a yellow oil:

NMR ($CDCl_3$); 1.02(4H,m), 2.08(1H,m), 2.12(6H,s), 4.9(1H,s), 7.8(1H,m), 7.9(1H,m), 8.0(1H,s), 8.1(1H,m).

EXAMPLE 6

Compounds (i), (n) and (r)

A mixture of 4-[bromo-(2-chloro-4-methanesulphonylphenyl)methyl]-5-cyclopropylisoxazole (2.5g) and methanol (2.0ml) in toluene was stirred at reflux for 16 hours. The resultant solution was evaporated to dryness and the residue was dissolved in ethyl acetate. This solution was washed with water, dried ($MgSO_4$), and filtered. The filtrate was evaporated to dryness. The residue was purified by chromatography on silica eluted with ethyl acetate and petroleum ether to give 4-[methoxy-(2-chloro-4-methanesulphonylphenyl)methyl]-5-cyclopropylisoxazole (1.40g) as a colourless oil. NMR: ($CDCl_3$) 1.0(4H,m), 2.1 (1H,m), 3.0(3H,s), 3.3(3H,s), 5.6(1H,s), 7.9(4H,m).

By proceeding in a similar manner the following compounds were prepared:

4-[methoxy-(2-nitro-4-trifluoromethylphenyl)methyl]-5-cyclopropylisoxaszole;
NMR ($CDCl_3$): 1.0(4H,m), 2.1(1H,s), 3.3(3H,s), 6.0(1H,s), 7.8(2H,m), 8.0(1H,d), 8.1(1H,s);
4-[methoxy-(2-trifluoromethyl-4-methanesulphonylphenyl)methyl]-5-cyclopropylisoxazole, m.p. 159°C.

REFERENCE EXAMPLE 1

A mixture of crude 2-ethoxymethylene-1-(2-nitro-4-trifluoromethylphenyl)butan-1,3-dione (13.25g) and hydroxylamine hydrochloride (3.7g) in ethanol was stirred for 5 hours. The solution was evaporated almost to dryness and the resultant solution was diluted with ethyl acetate. The solution was washed with water, dried (anhydrous sodium sulphate) and filtered. The filtrate was evaporated to dryness. The residue was triturated with a mixture of ether/ petroleum ether and the resultant buff solid was filtered off. The solid was dissolved in dichloromethane and filtered through silica. The silica was washed with dichloromethane and the combined filtrates were evaporated to dryness to give 4-[2-nitro-4-trifluoromethylbenzoyl]-5-methylisoxazole (4.5g) as an off-white solid, m.p. 86°C.

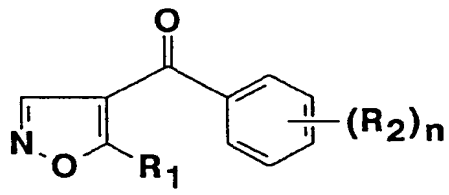
By proceeding in a similar manner,the following compounds were prepared:

4-[2-Nitro-4-trifluoromethylbenzoyl]-5-cyclopropylisoxazole, as an off-white solid, m.p. 125°C;
4-[2-chloro-3-ethoxy-4-methanesulphonylbenzoyl]-5-cyclopropylisoxazole as a white solid, m.p. 120°C;
4-[2-nitro-4-trifluoromethylbenzoyl]-5-cyclopropylisoxazole, m.p. 125°C.

REFERENCE EXAMPLE 2

A mixture of crude 2-ethoxymethylene-1-(2-chloro-4-methanesulphonylphenyl)-3-cyclopropyl propan- 1,3-dione (6.85g), hydroxylamine hydrochloride (1.6g) and triethylamine (1.9g) in acetonitrile was stirred at room temperature for 16 hours. The solution was evaporated to dryness and the residue diluted with ethyl acetate. The resultant solution was washed with water, dried ($MgSO_4$) and filtered. The filtrate was evaporated to dryness. The residue was recrystallized from ethanol to give 4-[2-chloro4-methanesulphonylbenzoyl]-5-cyclopropylisoxazole (2.4g) as a white solid, m.p. 115°C.

By proceeding in a similar manner the following compounds were prepared.



R_1	$(R_2)n$	m.p.
Cyclopropyl	2-Cl-3-OEt-4-SO_2Me	120°C
Cyclopropyl	2-NO_2-4-CH_3	54°C

R_1	$(R_2)n$	m.p.
Cyclopropyl	2-SO_2Me	119°C
Cyclopropyl	2-Br-4-SO_2Me	110°C
1-Methylcyclopropyl	2,3-Cl_2-4-SO_2Me	86°C
Cyclopropyl	2-SO_2Me-4-Cl	182°C
Cyclopropyl	2-SO_2Me-4-Br	193°C
Cyclopropyl	2-CH_3-4-SO_2Me	87°C
Cyclopropyl	2-Cl-4-SMe	*
NMR: ($CDCl_3$)	1.1(2H,m), 1.2(2H,m), 2.4(3H,s), 2.5(1H,m), 7.0(1H,m), 7.1(1H,d), 7.2(1H,d), 8.2(1H,s).	
Isopropyl	2-SO_2Me-4-Cl	134°C
1-methylcyclopropyl	2-SO_2Me-4-Cl	136°C
Cyclopropyl	2-F-4-SO_2Me	116°C
Cyclopropyl	2-SO_2Me-4-CF_3	134°C

REFERENCE EXAMPLE 4

4-(2-chloro-4-(methanethio)benzoyl)-5-cyclopropylisoxazole (2.0g) in dichloromethane was stirred at -15°C and to this was added metachloroperoxybenzoic acid (MCPBA) (2.0g). The mixture was stirred at -15°C for 1 hour and then at room temperature for 16 hours. The solution was washed with 1M sodium bisulphite, water, dried ($MgSO_4$) and filtered. The filtrate was evaporated to dryness and purified by chromatography on silica eluting with ethyl acetate and petroleum spirit to give 4-(2-chloro-4-methanesulphinylbenzoyl)-5-cyclopropylisoxazole as a white solid, m.p. 117°C.

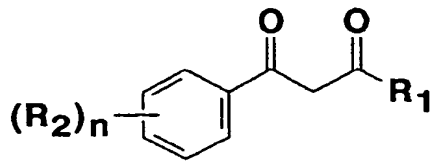
REFERENCE EXAMPLE 5

A mixture of 1-(2-nitro-4-trifluoromethylphenyl)-butan-1,3-dione (11.0g), triethyl orthoformate (11.3g) and acetic anhydride (12.3g) was stirred and heated at reflux for 3 hours. After cooling, the mixture was evaporated to dryness and toluene was added. The mixture was evaporated to dryness to give 2-ethoxymethylene-1-(2-nitro-4-trifluoromethylphenyl)-butan-1,3-dione as a crude brown oil which was not further purified.

By proceeding in a similar manner, the following compounds were prepared:

and filtered. The filtrate was evaporated to dryness and the residue was recrystallized from petroleum ether to give 1-(2-nitro-4-trifluoromethylphenyl)-butan-1,3-dione (4.6g) as an off-white solid, m.p. 81°C.

By proceeding in a similar manner, the following compounds were prepared:



R_1	$(R_2)n$	m.p.
Cyclopropyl	2-NO_2-4-CF_3	96°
Cyclopropyl	2-Cl-3-OEt-4-SO_2Me	*

NMR: ($CDCl_3$) 1.1(4H,m) 1.3(3H,t) 1.9(1H,m) 3.1(3H,s) 4.2(2H,q) 5.95(1H,s) 6.25(1H,m) 6.65(1H,m) 14.9(1H,br s).

Cyclopropyl	2-Cl-4-SO_2Me	93°C
Cyclopropyl	2-NO_2-4-Me	*

NMR: ($CDCl_3$) 1.1(4H,m) 2.0(1H,m) 2.5(3H,s) 6.6(1H,s) 8.5(2H,m) 8.7(1H,m).

Methyl	1-Cl-3-OEt-4-SO_2Et	*

NMR: ($CDCl_3$) 1.2-1.9 (6H,m) 2.3(3H,s) 3.55(2H,q) 4.4(2H,q) 6.0(1H,s) 7.45(1H,d) 7.95(1H,d).

Cyclopropyl	2-Cl-3-OEt-4-SO_2Et	*

NMR: ($CDCl_3$) 1.2-2.3(11H,m) 3.75(2H,q) 4.6(2H,q) 6.3(1H,s) 7.2(1H,d) 8.2(1H,d).

Cyclopropyl	2-Cl-4-F	not purified
Cyclopropyl	2-CF_3-4-SO_2Me	not purified
Cyclopropyl	2-SO_2Me	94°C
1-Methylcyclopropyl	2,3-Cl_2-4-SO_2Me	136°C
Cyclopropyl	2-SO_2Me-4-Cl	*

NMR: ($CDCl_3$) 1.1(4H,m) 2.1(1H,m) 3.3(3H,s) 5.8(1H,s) 7.3-7.9(3H,m).

Cyclopropyl	2-Br-4-SO_2Me	109°C
Cyclopropyl	2-SO_2Me-4-Br	not purified
Cyclopropyl	2-CH_3-4-SO_2Me	not purified
Cyclopropyl	2-Cl-4-SMe	*

NMR: ($CDCl_3$) 0.8-2.2(4H,m) 1.6(1H,m) 2.4(3H,s) 6.0(1H,s) 6.9-7.4(3H,m) 15.o(1H,br s).

Cyclopropyl	2-CF_3-4-SMe	*

NMR: ($CDCl_3$) 1.1(4H,m) 1.7(1H,m) 2.5(3H,s) 5.8(1H,s) 7.1-7.5(3H,m) 14.5(1H br s).

Cyclopropyl	2-SO_2Me-4-CF_3	*

NMR ($CDCl_3$) 1.2(4H,m) 2.4(1H,m) 3.3(3H,s) 5.9(1H,s) 7.1-8.1(3H,m).

Cyclopropyl	2-F-4-SO_2Me	not purified
Isopropyl	2-SO_2Me-4-Cl	*

NMR ($CDCl_3$): 1.2(6H,d) 2.3(1H,m) 3.3(3H,s) 5.7(1H,s) 7.0-7.9 (3H,m).

1-Methylcyclopropyl	2-SO_2Me-4-Cl	*

NMR (CDCl3): 0.8(2H,m) 1.2(5H,m) 3.3(3H,s) 5.8(1H,s) 7.0-8.0(3H,m).

REFERENCE EXAMPLE 7

A mixture of magnesium turnings (4.8g) and carbon tetrachloride (2ml) in pure ethanol was stirred and warmed gently to 50°C until the reaction was initiated (effervescence observed). Ether was added cautiously with stirring. A solution of t-butyl 3-oxobutanoate (31.6g) in ether was added dropwise at such a rate as to maintain the mixture at reflux. Stiring and heating at reflux was continued for 2 hours. A solution of 2-nitro-4-trifluoromethylbenzoyl chloride (50.7g) in ether was added dropwise and the resultant solution was stirred and heated at reflux for 2.5 hours. The cooled reaction mixture was treated with hydrochloric acid with stirring and the two layers were separated. The organic phase was extracted with aqueous sodium hydroxide solution and water. The combined aqueous layers were acidified to pH 1 and extracted with ether. The combined organic layers were washed with water, dried (anhydrous magnesium sulphate) and filtered. The filtrate was evaporated to dryness to give t-butyl 2-(2-nitro-4-trifluoromethylbenzoyl)-3-oxo butanoate (62.2g) as a crude red oil which was not further purified.

By proceeding in a similar manner, the following compounds were prepared:

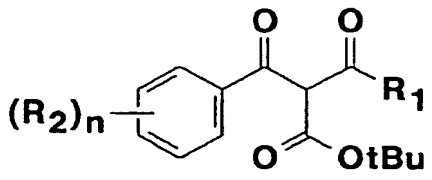
t-Butyl 2-(2-nitro-4-trifluoromethylbenzoyl)-3-oxo-3-cyclopropylpropanoate, as a crude orange oil; and

t-Butyl 2-(2-chloro-3-ethoxy-4-methanesulphonylbenzoyl)-3-oxo-3-cyclopropyl-propanoate, as a yellow oil.

REFERENCE EXAMPLE 8

Carbon tetrachloride (1ml) was added to a stirred mixture of t-butyl 3-cyclopropyl-3-oxopropanoate (7.83g) and Magnesium (1.08g) in methanol causing a vigorous reaction. The reaction mixture was stirred for 0.25 hours and evaporated to dryness. The residue was suspended in acetonitrile and a solution of 2-chloro-4-(methanethio)benzoyl chloride (9.38g) in acetonitrile was added dropwise. Stirring was continued at room temperature for 4 hours. The resultant solution was evaporated to dryness and the residue dissolved in ethyl acetate, washed with 2M HCl solution followed by water. The ethyl acetate solution was dried ($MgSO_4$) and filtered. The filtrate was evaporated to dryness to give t-butyl 2-[2-chloro-4-(methanethio)benzoyl]-3-cyclopropyl-3-oxopropanoate (15.8g) as an orange oil which was not purified further.

By proceeding in a similar manner the following compounds were prepared:



R_1	$(R_2)_n$
Cyclopropyl	2-NO_2-4-CF3
Cyclopropyl	2-Cl-3-OEt-4-SO_2Me
Cyclopropyl	2-Cl-4-SO_2Me
Cyclopropyl	2-NO_2-4-Me
Methyl	2-Cl-3-OEt-4-SO_2Me
Cyclopropyl	2-Cl-3-OEt-4-SO_2Me
Cyclopropyl	2-Cl-4-F
Cyclopropyl	2-CF_3-4-SO_2Me
Cyclopropyl	2-SO_2Me
1-Methylcyclopropyl	2,3-Cl_2-4-SO_2Me
Cyclopropyl	2-SO_2Me-4-Cl
Cyclopropyl	2-Br-4-SO_2Me
Cyclopropyl	2-SO_2Me-4-Br
Cyclopropyl	2-CH_3-4-SO_2Me
Cyclopropyl	2-CF_3-4-SMe
Cyclopropyl	2-SO_2Me-4-CF_3
Cyclopropyl	2-F-4-SO_2Me
Isopropyl	2-SO_2Me-4-Cl
1-Methylcyclopropyl	2-SO_2Me-4-Cl

REFERENCE EXAMPLE 9

A mixture of 5-cyclopropylcarbonyl-2,2-dimethyl- 1,3-dioxan-4,6-dione (23.9g) and t-butanol (25g) in dry toluene was stirred and heated at 80°C for 4 hours. The cooled mixture was washed with water, dried (anhydrous sodium sulphate), treated with decolourizing charcoal and filtered. The filtrate was evaporated to dryness to give t-butyl 3-cyclopropyl-3-oxopropanoate (20.2g) as an orange oil; NMR: ($CDCl_3$) 0.8(2H,m), 0.89(2H,m), 1.35(9H,s), 1.9(1H,m), 3.35(2H,s).

REFERENCE EXAMPLE 10

A mixture of 2,2-dimethyl-1,3-dioxan-4,6-dione (20.0g) and pyridine (22.0g) in dichloromethane was stirred at 0°C. A solution of cyclopropylcarbonyl chloride (16.0g) in dichloromethane (50ml) was added dropwise under an inert atmosphere whilst maintaining the temperature below 3°C. The mixture was stirred at 0°C for 1 hour and at ambient temperature for 2 hours. The resultant orange suspension was washed with hydrochloric acid, water, dried (anhydrous sodium sulphate) and filtered. The titrate was evaporated to dryness. The residue was dissolved in ether and the solution was treated with decolourizing charcoal and filtered. The filtrate was evaporated to dryness to give 5-cyclopropylcarbonyl-2,2-dimethyl-1,3-dioxan-4,6-dione (24.2g) as a yellow solid, m.p. 45°C.

REFERENCE EXAMPLE 11

A solution of n-butyl lithium (2.5m in hexane, 36ml) was added dropwise with stirring to a cooled solution of diisopropylamine (9.09g) in dry tetrahydrofuran under an inert atmosphere, whilst maintaining the temperature below 70°C. The mixture was stirred for 0.25 hours and t-butyl trimethylsilylacetate (16.9g) was added dropwise with stirring whilst maintaining the temperature below 70°C. The mixture was stirred at -78°C for 1 hour. A suspension of 1-(1-methylcyclopropylcarbonyl)-imidazole (13.5g) in dry THF was added dropwise whilst maintaining the temperature below -60°C. The mixture was stirred at -78°C for 3 hours and the temperature was allowed to rise to room temperature. 2M hydrochloric acid solution was added cautiously and the mixture was extracted with ether. The combined extracts were washed with 2M hydrochloric acid solution and water, dried (anhydrous sodium sulphate) and filtered. The filtrate was evaporated to dryness to give t-butyl 3-(1-methylcyclopropyl)-3-oxopropionate as an orange oil, NMR: ($CDCl_3$) 0.65(2H,m), 1.1(2H,m), 1.25(3H,s), 1.4(9H,s), 3.2(2H,s).

REFERENCE EXAMPLE 12

A solution of 1-methylcyclopropylcarbonyl chloride (11.8g) in toluene was added dropwise to a solution of imidazole (13.6g) in tetrahydrofuran whilst maintaining the temperature below 25°C. The mixture was stirred for 3 hours and filtered. The filtrate was evaporated to dryness to give 1-methylcyclopropylcarbonyl)-imidazole (13.6g) as a white solid, m.p. 35°C.

According to a feature of the present invention, there is provided a method for controlling the growth of weeds (i.e. undesired vegetation) at a locus which comprises applying to the locus a herbicidally effective amount of at least one isoxazole derivative of general formula (I) or an agriculturally acceptable salt thereof. For this purpose, the isoxazole derivatives are normally used in the form of herbicidal compositions (i.e. in association with compatible diluents or carriers and/or surface active agents suitable for use in herbicidal compositions), for example as hereinafter described.

The compounds of general formula (I) show herbicidal activity against dicotyledonous (i.e. broad-leafed) and monocotyledonous (e.g. grass) weeds by pre- and/or post-emergence application.

By the term "pre-emergence application" is meant application to the soil in which the weed seeds or seedlings are present before emergence of the weeds above the surface of the soil. By the term "post-emergence application" is meant application to the aerial or exposed portions of the weeds which have emerged above the surface of the soil. For example, the compounds of general formula (I) may be used to control the growth of:

broad-leafed weeds, for example, Abutilon theophrasti, Amaranthus retroflexus, Bidens pilosa, Chenopodium album, Galium aparine, Ipomoea spp. e.g. Ipomoea purpurea, Sesbania exaltata, Sinapis arvensis, Solanum nigrum and Xanthium strumarium, and

grass weeds, for example Alopecurus myosuroides, Avena fatua, Digitaria sanguinalis, Echinochloa crus-galli, Eleusine indica and Setaria spp, e.g. Setaria faberii or Setaria viridis, and

sedges, for example, Cyperus esculentus.

The amounts of compounds of general formula (I) applied vary with the nature of the weeds, the compositions used, the time of application, the climatic and edaphic conditions and (when used to control the growth of weeds in cropgrowing areas) the nature of the crops. When applied to a crop-growing area, the rate of application should be sufficient to control the growth of weeds without causing substantial permanent damage to the crop. In general, taking these factors into account, application rates between 0.01kg and 20kg of active material per hectare give good results. However, it is to be understood that higher or lower application rates may be used, depending upon the particular problem of weed control encountered.

The compounds of general formula (I) may be used to control selectively the growth of weeds, for example

to control the growth of those species hereinbefore mentioned, by pre- or post-emergence application in a directional or non-directional fashion, e.g. by directional or non-directional spraying, to a locus of weed infestation which is an area used, or to be used, for growing crops, for example cereals, e.g. wheat, barley, oats, maize and rice, soya beans, field and dwarf beans, peas, lucerne, cotton, peanuts, flax, onions, carrots, cabbage, oilseed rape, sunflower, sugar beet, and permanent or sown grassland before or after planting of the crop or before or after emergence of the crop. For the selective control of weeds at a locus of weed infestation which is an area used, or to be used, for growing of crops, e.g. the crops hereinbefore mentioned, application rates between 0.01kg and 8.0kg, and preferably between 0.01kg and 4.0kg, of active material per hectare are particularly suitable.

The compounds of general formula (I) may also be used to control the growth of weeds, especially those indicated above, by pre- or post-emergence application in established orchards and other tree-growing areas, for example forests, woods and parks, and plantations, e.g. sugar cane, oil palm and rubber plantations. For this purpose they may be applied in a sectional or non-directional fashion (e.g. by directional or non-directional spraying) to the weeds or to the soil in which they are expected to appear, before or after planting of the trees or plantations at application rates between 0.25kg and 10.0kg, and preferably between 0.5kg and 8.0kg of active material per hectare.

The compounds of general formula (I) may also be used to control the growth of weeds, especially those indicated above, at loci which are not crop-growing areas but in which the control of weeds is nevertheless desirable.

Examples of such non-crop-growing areas include airfields, industrial sites, railways, roadside verges, the verges of rivers, irrigation and other waterways, scrublands and fallow or uncultivated land, in particular where it is desired to control the growth of weeds in order to reduce fire risks. When used for such purposes in which a total herbicidal effect is frequently desired, the active compounds are normally applied at dosage rates higher than those used in crop-growing areas as hereinbefore described. The precise dosage will depend upon the nature of the vegetation treated and the effect sought.

Pre- or post-emergence application, and preferably pre-emergence application, in a directional or non-directional fashion (e.g. by directional or non-directional spraying) at application rates between 1.0kg and 20.0kg, and preferably between 5.0 and 10.0kg, of active material per hectare are particulaly suitable for this purpose.

When used to control the growth of weeds by pre-emergence application, the compounds of general formula (I) may be incorporated into the soil in which the weeds are expected to emerge. It will be appreciated that when the compounds of general formula (I) are used to control the growth of weeds by post-emergence application, i.e. by application to the aerial or exposed portions of emerged weeds, the compounds of general formula (I) will also normally come into contact with the soil and may also then exercise a pre-emergence control on later-germinating weeds in the soil.

Where especially prolonged weed control is required, the application of the compounds of general formula (I) may be repeated if required.

According to a further feature of the present invention, there are provided compositions suitable for herbicidal use comprising one or more of the isoxazole derivatives of general formula I or an agriculturally acceptable salt thereof, in association with, and preferably homogeneously dispersed in, one or more compatible agriculturally- acceptable diluents or carriers and/or surface active agents [i.e. diluents or carriers and/or surface active agents of the type generally accepted in the art as being suitable for use in herbicidal compositions and which are compatible with compounds of general formula (I)]. The term "homogeneously dispersed" is used to include compositions in which the compounds of general formula (I) are dissolved in other components. The term "herbicidal compositions" is used in a broad sense to include not only compositions which are ready for use as herbicides but also concentrates which must be diluted before use. Preferably, the compositions contain from 0.05 to 90% by weight of one or more compounds of general formula (I).

The herbicidal compositions may contain both a diluent or carrier and surface-active (e.g. wetting, dispersing, or emulsifying) agent. Surface-active agents which may be present in herbicidal compositions of the present invention may be of the ionic or non-ionic types, for example sulphoricinoleates, quaternary ammonium derivatives, products based on condensates of ethylene oxide with alkyl and polyaryl phenols, e.g. nonyl- or octyl-phenols, or carboxylic acid esters of anhydrosorbitols which have been rendered soluble by etherification of the free hydroxy groups by condensation with ethylene oxide, alkali and alkaline earth metal salts of sulphuric acid esters and sulphonic acids such as dinonyl- and dioctyl-sodium sulphonosuccinates and alkali and alkaline earth metal salts of high molecular weight sulphonic acid derivatives such as sodium and calcium lignosulphonates and sodium and calcium alkylbenzene sulphonates.

Suitably, the herbicidal compositions according to the present invention may comprise up to 10% by weight, e.g. from 0.05% to 10% by weight, of surface-active agent but, if desired, herbicidal compositions according

to the present invention may comprise higher proportions of surface-active agent, for example up to 15% by weight in liquid emulsifiable suspension concentrates and up to 25% by weight in liquid water soluble concentrates.

Examples of suitable solid diluents or carriers are aluminium silicate, talc, calcined magnesia, kieselguhr, tricalcium phosphate, powdered cork, absorbent carbon black and clays such as kaolin and bentonite. The solid compositions (which may take the form of dusts, granules or wettable powders) are preferably prepared by grinding the compounds of general formula (I) with solid diluents or by impregnating the solid diluents or carriers with solutions of the compounds of general formula (I) in volatile solvents, evaporating the solvents and, if necessary, grinding the products so as to obtain powders. Granular formulations may be prepared by absorbing the compounds of general formula (I) (dissolved in suitable solvents, which may, if desired, be volatile) onto the solid diluents or carriers in granular form and, if desired, evaporating the solvents, or by granulating compositions in powder form obtained as described above. Solid herbicidal compositions, particularly wettable powders and granules, may contain wetting or dispersing agents (for example of the types described above), which may also, when solid, serve as diluents or carriers.

Liquid compositions according to the invention may take the form of aqueous, organic or aqueous-organic solutions, suspensions and emulsions which may incorporate a surface-active agent. Suitable liquid diluents for incorporation in the liquid compositions include water, glycols, tetrahydrofurfuryl alcohol, acetophenone, cyclohexanone, isophorone, toluene, xylene, mineral, animal and vegetable oils and light aromatic and naphthenic fractions of petroleum (and mixtures of these diluents). Surface-active agents, which may be present in the liquid compositions, may be ionic or non-ionic (for example of the types described above) and may, when liquid, also serve as diluents or carriers.

Powders, dispersible granules and liquid compositions in the form of concentrates may be diluted with water or other suitable diluents, for example mineral or vegetable oils, particularly in the case of liquid concentrates in which the diluent or carrier is an oil, to give compositions ready for use.

When desired, liquid compositions of the compound of general formula (I) may be used in the form of self-emulsifying concentrates containing the active substances dissolved in the emulsifying agents or in solvents containing emulsifying agents compatible with the active substances, the simple addition of water to such concentrates producing compositions ready for use.

Liquid concentrates in which the diluent or carrier is an oil may be used without further dilution using the electrostatic spray technique.

Herbicidal compositions according to the present invention may also contain, if desired, conventional adjuvants such as adhesives, protective colloids, thickeners, penetrating agents, stabilisers, sequestering agents, anti-caking agents, colouring agents and corrosion inhibitors. These adjuvants may also serve as carriers or diluents.

Unless otherwise specified, the following percentages are by weight. Preferred herbicidal compositions according to the present invention are

aqueous suspension concentrates which comprise from 10 to 70% of one or more compounds of general formula (I), from 2 to 10% of surface-active agent, from 0.1 to 5% of thickener and from 15 to 87.9% of water;

wettable powders which comprise from 10 to 90% of one or more compounds of general formula (I), from 2 to 10% of surface-active agent and from 8 to 88% of solid diluent or carrier;

water soluble or water dispersible powders which comprise from 10 to 90% of one or more compounds of general formula (I), from 2 to 40% of sodium carbonate and from 0 to 88% of solid diluent;

liquid water soluble concentrates which comprise from 5 to 50%, e.g. 10 to 30%, of one or more compounds of general formula (I), from 5 to 25% of surface-active agent and from 25 to 90%, e.g. 45 to 85%, of water miscible solvent, e.g. dimethylformamide, or a mixture of water-miscible solvent and water;

liquid emulsifiable suspension concentrates which comprise from 10 to 70% of one or more compounds of general formula (I), from 5 to 15% of surface-active agent, from 0.1 to 5% of thickener and from 10 to 84.9% of organic solvent;

granules which comprise from 1 to 90%, e.g. 2 to 10% of one or more compounds of general formula (I), from 0.5 to 7%, e.g. 0.5 to 2%, of surface-active agent and from 3 to 98.5%, e.g. 88 to 97.5%, of granular carrier and

emulsifiable concentrates which comprise 0.05 to 90%, and preferably from to 60% of one or more compounds of general formula (I), from 0.01 to 10%, and preferably from 1 to 10%, of surface-active agent and from 9.99 to 99.94%, and preferably from 39 to 98.99%, of organic solvent.

Herbicidal compositions according to the present invention may also comprise the compounds of general formula (I) in association with, and preferably homogeneously dispersed in, one or more other pesticidally active compounds and, if desired, one or more compatible pesticidally acceptable diluents or carriers, surface-active agents and conventional adjuvants as hereinbefore described. Examples of other pesticidally active com-

pounds which may be included in, or used in conjunction with, the herbicidal compositions of the present invention include herbicides, for example to increase the range of weed species controlled for example alachlor [2-chloro-2,6'-diethyl-N-(methoxy-methyl)-acetanilide], atrazine [2-chloro-4-ethylamino-6- isopropylamino- 1,3, 5-triazine], bromoxynil [3,5-dibromo-4-hydroxybenzonitrile], chlortoluron [N'-(3-chloro-4-methylphenyl)-N,N-dimethylurea], cyanazine [2-chloro-4-[1-cyano-1-methylethylamino)-6-ethylamino-1,3,5-triazine], 2,4-D[2,4-dichlorophenoxyacetic acid], dicamba [3,6-dichloro-2-methoxybenzoic acid], difenzoquat [1,2-dimethyl- 3,5-diphenyl-pyrazolium salts], flampropmethyl [methyl N-2-(N-benzoyl-3-chloro-4-fluoroanilino)-propionate], fluometuron [N'-(3-trifluoromethylphenyl)-N,N-dimethylurea], isoproturon [N'-(4-isopropylphenyl)-N,N-dimethylurea], insecticides, e.g. synthetic pyrethroids, e.g. permethrin and cypermethrin, and fungicides, e.g. carbamates, e.g. methyl N-(1-butyl-carbamoyl-benzimidazol-2-yl)carbamate, and triazoles e.g. 1-(4-chlorophenoxy)-3,3-dimethyl-1-(1,2,4-triazol-1-yl)-butan-2-one.

Pesticidally active compounds and other biologically active materials which may be included in, or used in conjunction with, the herbicidal compositions of the present invention, for example those hereinbefore mentioned, and which are acids, may, if desired, be utilized in the form of conventional derivatives, for example alkali metal and amine salts and esters.

According to a further feature of the present invention there is provided an article of manufacture comprising at least one of the isoxazole derivatives of general formula (I) or, as is preferred, a herbicidal composition as hereinbefore described, and preferably a herbicidal concentrate which must be diluted before use, comprising at least one of the isoxazole derivatives of general formula (I) within a container for the aforesaid derivative or derivatives of general formula (I), or a said herbicidal composition, and instructions physically associated with the aforesaid container setting out the manner in which the aforesaid derivative or derivatives of general formula (I) or herbicidal composition contained therein is to be used to control the growth of weeds. The containers will normally be of the types conventionally used for the storage of chemical substances which are solid at normal ambient temperatures and herbicidal compositions particularly in the form of concentrates, for example cans and drums of metal, which may be internally lacquered, and plastics materials, bottles or glass and plastics materials and, when the contents of the container is a solid, for example granular, herbicidal compositions, boxes, for example of cardboard, plastics materials and metal, or sacks. The containers will normally be of sufficient capacity to contain amounts of the isoxazole derivative or herbicidal compositions sufficient to treat at least one acre of ground to control the growth of weeds therein but will not exceed a size which is convenient for conventional methods of handling. The instructions will be physically associated with the container, for example by being printed directly thereon or on a label or tag affixed thereto. The directions will normally indicate that the contents of the container, after dilution if necessary, are to be applied to control the growth of weeds at rates of application between 0.01kg and 20kg of active material per hectare in the manner and for the purposes hereinbefore described.

The following Examples illustrate herbicidal compositions according to the present invention:

EXAMPLE C1

A wettable powder was formed from:

* active ingredient (compound (a)): 50% w/w
* nonylphenol/ethylene oxide condensate containing 9 moles of ethylene oxide per mol of phenol: 5% w/w
* silicon dioxide of microfine particle size: 5% w/w
* synthetic magnesium silicate carrier: 40% w/w

by absorbing the condensate on the silicon dioxide, mixing with the other ingredients and grinding the mixture in a hammermill to give a wettable powder.

Similar wettable powders may be prepared as described above by replacing the isoxazole (compound (a)) by other compounds of general formula (I).

EXAMPLE C2

An aqueous suspension concentrate was formed from:

* active ingredient (compound (a)):	50% w/v
* nonylphenol/ethylene oxide condensate containing 9 moles of ethylene oxide per mol of phenol:	1 % w/v
* sodium salt of polycarboxylic acid:	0.2% w/v
* Ethylene glycol:	5% w/v
* polysaccaride xanthan gum thickener:	0.15% w/v
* water to	100% by volume

by intimately mixing the ingredients and grinding in a ball-mill for 24 hours.

Similar aqueous concentrates may be prepared as described above by replaining the isoxazole (compound (a)) by other compounds of general formula (I).

Representative compounds of general formula (I) have been used in herbicidal applications or use according to the following procedures.

METHOD OF USE OF HERBICIDAL COMPOUNDS

a) General

Appropriate quantities of the compounds used to treat the plants were dissolved in acetone to give solutions equivalent to application rates of up to 4000g test compound per hectare (g/ha). These solutions were applied from a standard laboratory herbicide sprayer delivering the equivalent of 290 litres of spray fluid per hectare.

b) Weed control : Pre-emergence

The seeds were sown in 70 mm square, 75 mm deep plastic pots in non-sterile soil. The quantities of seed per pot were as follows:

Weed species	Approx number of seeds/pot
1) Broad-leafed weeds	
Abutilon theophrasti	10
Amaranthus retroflexus	20
Galium aparine	10
Ipomoea purpurea	10
Sinapis arvensis	15
Xanthium strumarium	2.
2) Grass weeds	
Alopecurus myosuroides	15
Avena fatua	10
Echinochloa crus-galli	15
Setaria viridis	20.
3) Sedges	
Cyperus esculentus	3.

Crop	
1) Broad-leafed	
Cotton	3
Soya	3.
2) Grass	
Maize	2
Rice	6
Wheat	6.

The compounds of the invention were applied to the soil surface, containing the seeds, as described in (a). A single pot of each crop and each weed was allocated to each treatment, with unsprayed controls and controls sprayed with acetone alone.

After treatment the pots were placed on capillary matting kept in a glass house, and watered overhead . Visual assessment of crop damage was made 20-24 days after spraying. The results were expressed as the percentage reduction in growth or damage to the crop or weeds, in comparison with the plants in the control pots.

c) Weed control : Post-emergence

The weeds and crops were sown directly into John Innes potting compost in 75 mm deep, 70 mm square pots except for Amaranthus which was pricked out at the seedling stage and transferred to the pots one week before spraying. The plants were then grown in the greenhouse until ready for spraying with the compounds used to treat the plants. The number of plants per pot were as follows :-

1) Broad leafed weeds

Weed species	Number of plants per pot	Growth stage
Abutilon theophrasti	3	1-2 leaves
Amaranthus retroflexus	4	1-2 leaves
Galium aparine	3	1st whorl
Ipomoea purpurea	3	1-2 leaves
Sinapis arvensis	4	2 leaves
Xanthium strumarium	1	2-3 leaves.

2) Grass weeds

Weed species	Number of plants per pot	Growth stage
Alopecurus myosuroides	8-12	1-2 leaves
Avena fatua	12-18	1-2 leaves
Echinochloa crus-galli	4	2-3 leaves
Setaria viridis	15-25	1-2 leaves.

3) Sedges

Weed species	Number of plants per pot	Growth stage
Cyperus esculentus	3	3 leaves.

1) Broad leafed

Crops	Number of plants per pot	Growth stage
Cotton	2	1 leaf
Soya	2	2 leaves.

2) Grass

Crops	Number of plants per pot	Growth stage
Maize	2	2-3 leaves
Rice	4	2-3 leaves
Wheat	5	2-3 leaves.

The compounds used to treat the plants were applied to the plants as described in (a). A single pot of each crop and weed species was allocated to each treatment, with unsprayed controls and controls sprayed with acetone alone.

After treatment the pots were placed on capillary matting in a glass house, and watered overhead once after 24 hours and then by controlled sub-irrigation. Visual assessment of crop damage and weed control was made 20-24 days after spraying. The results were expressed as the percentage reduction in growth or damage to the crop or weeds, in comparison with the plants in the control pots.

The compounds of the invention, used at 4kg/ha or less, have shown an excellent level of herbicidal activity together with crop tolerance on the weeds used in the foregoing experiments.

When applied pre-emergence at 4000g/ha compounds (a, e, and o) gave at least 90% reduction in growth of one or more of the weed species.

When applied post-emergence at 4000g/ha compounds (a, e and o) gave at least 90% reduction in growth of one or more of the weed species.

When applied pre-emergence at 2000g/ha compound (b) gave at least 90% reduction in growth of one or more of the weed species.

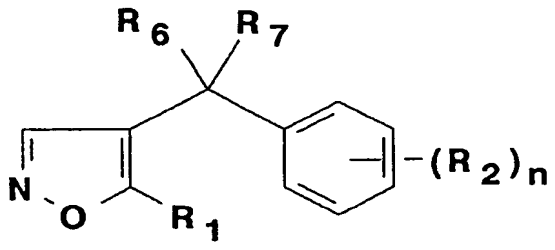
When applied post-emergence at 2000g/ha compound (b) gave at least 90% reduction in growth of one or more of the weed species.

When applied pre-emergence at 1000g/ha compounds (c,d,g,h,i,j,k,l,m,n,p,q,r,s,t, u,v,w, x,y,z, aa, ab, ac, ad,ae,af and ah) gave at least 90% reduction in growth of one or more of the weed species.

When applied post-emergence at 1000g/ha compounds (c,d,h,i,j,k,l,m,n,p,r,s,t,u,w,x,y,z,aa,ab,ac,ad,ae,af and ah) gave at least 90% reduction in growth of one or more of the weed species.

Claims

1. 4-Benzyl isoxazole derivatives of general formula (I):



(I)

wherein R_1 represents:

a straight- or branched- chain alkyl, alkenyl or alkynyl group containing up to 6 carbon atoms which may be optionally substituted by one or more halogen atoms; or

a cycloalkyl group containing from 3 to 6 carbon atoms which may be optionally substituted by one or more R_5 groups or one or more halogen atoms or a group $-COOR_5$; or

a cycloalkenyl group containing 5 or 6 carbon atoms which may be optionally substituted by one or more R_5 groups or one or more halogen atoms or a group $COOR_5$; or

an aryl or aralkyl group of general formula

[$(R_2)q$ phenyl]-[$C(R_3)(R_4)$]p- (aryl is generally C6-C10 and aralkyl is generally C7-C11); or
an ester group, $COOR_5$; or
an aldehyde or acyl group, COR_3; or
a cyano or nitro group; or
an amino group, $-NR_3R_4$; or
a halogen atom;

R_2 represents:
a nitro or cyano group; or
a halogen atom; or
a group, R_5; or
a sulphenyl, sulphinyl or sulphonyl group, $-S(O)_mR_5$; or
a sulphamoyl group, $-SO_2NR_3R_4$; or
an ester group, $-COOR_5$; or
an acyl or aldehyde group, $-COR_3$; or
a carbamoyl or thiocarbamoyl group $-CONR_3R_4$ or $-CSNR_3R_4$; or
an alkoxy group, $-OR_5$; or
an alkyl group (with 1 to 3 carbon atoms) substituted by $-OR_5$;

R_3 and R_4, which may be the same or different, each represents:
a hydrogen atom or a straight- or branched- chain alkyl group containing up to 6 carbon atoms which is optionally substituted by one or more halogen atoms;

R_5 represents:
a straight- or branched-chain alkyl group containing up to 6 carbon atoms which is optionally substituted by one or more halogen atoms;

R_6 represents:
a hydrogen atom; or
a hydroxy group, OH; or
a halogen atom; or
a group R_5; or
an alkenyl group containing up to 6 carbon atoms which may be optionally substituted by one or more halogen atoms; or
a cycloalkyl group containing from 3 to 6 carbon atoms which may be optionally substituted by one or more R_5 groups or one or more halogen atoms or a group $-COOR_5$; or
a sulphenyl, sulphinyl or sulphonyl group, $-S(O)_mR_5$; or
an ester group, $-COOR_5$; or
a cyano group; or
an acyl or aldehyde group, $-COR_3$; or
a carbamoyl or thiocarbamoyl group, $-CONR_3R_4$ or $-CSNR_3R_4$;
or
an alkoxy group, $-OR_5$; or
a phenoxy group, -O-phenyl-$(R_2)q$; or
a benzyloxy group, $-OCH_2$-phenyl-$(R_2)q$; or
an acyloxy group, $-OCOR_8$; or
a benzoyloxy group, -OCO-phenyl-$(R_2)q$; or
a group -OCO-Het1; or
a carbamoyloxy group, $-OCONR_3R_4$; or
an alkylsulphonyloxy group, $-OSO_2R_8$; or
a phenylsulphonyloxy group, $-OSO_2$-phenyl-$(R_2)q$
a sulphamoyloxy group, $-OSO_2NR_3R_4$; or
an amino group, $-NR_3R_4$; or
an acylamino group, $-NR_3COR_5$; or
a group Het2;

R_7 represents:
a hydrogen atom; or
a group, R_5;

or R_6 and R_7 may form with the carbon atom to which they are attached, a ketal ($(OR_5)_2$), or a thioketal ($(SR_5)_2$), or a cyclic ketal or cyclic thioketal containing 5 or 6 atoms in the ring optionally substituted by one or more R_5 groups;

R_8 represents:

a straight- or branched- chain alkyl or alkenyl group containing up to 6 carbon atoms which may be optionally substituted by one or more halogen atoms; or

a cycloalkyl group containing from 3 to 6 carbon atoms which may be optionally substituted by one or more R_5 groups or one or more halogen atoms or a group $-COOR_6$;

Het1 represents a heterocycle containing 5 or 6 atoms in the ring, one or more of which is a heteroatom selected from nitrogen, sulphur and oxygen, the ring carbon atoms being optionally substituted by a group R_2;

Het2 represents a heterocyclic group selected from: pyrrol-l-yl, pyrazol-l-yl, imidazol-l-yl, 1,2,4-triazol-4-yl, 1,2,4-triazoyl-l-yl, 1,2,3-triazol-l-yl or 1,2,3-triazol-2-yl; each of which may be optionally substituted by one or more groups R_2;

m represents zero, 1, or 2;

n represents an integer from 1 to 5;

q represents zero or an integer from 1 to 5;

p represents zero or 1;

and agriculturally acceptable salts thereof.

2. A compound according to claim 1 wherein:

a) one of the groups represented by $(R_2)_n$ is in the ortho position on the phenyl ring; and/or

b) where there are two groups represented by $(R_2)_n$ they are in the 2-and 4- positions on the phenyl ring; and/or

c) R_1 is alkyl optionally substituted by halogen; or cycloalkyl optionally substituted by alkyl or halogen; ; and/or

d) R_2 is halogen; or nitro; or R_5; or $-S(O)_mR_5$; or $-OR_5$; or alkyl substituted by $-OR_5$; or $-COOR_5$; and/or

e) R_5 is alkyl (with 1 to 3 carbon atoms) optionally substituted by fluorine or chlorine; and/or

f) R_8 is hydrogen, halogen, -OH, $-OR_5$, $-OCOR_8$, or alkyl (with one to four carbon atoms) optionally substituted by one or more halogen atoms; and/or

g) One of the groups R_6 or R_7 is a hydrogen atom; and/or

h) R_7 is hydrogen or an alkyl group containing from one to four carbon atoms; and/or

i) R_8 is an alkyl group containing from one to four carbon atoms optionally substituted by one or more halogen atoms; and/or

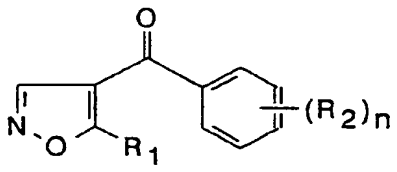
j) n is 2 or 3.

3. A compound according to claim 1 or 2 which is:

4-[hydroxy-(2-nitro-4-trifluoromethylphenyl)methyl]-5-cyclopropylisoxazole;
4-[hydroxy-(2-nitro-4-trifluoromethylphenyl)methyl]-5-methyl isoxazole;
4-[hydroxy-(2-chloro-3-ethoxy-4-methanesulphonylphenyl)methyl]-5-cyclopropylisoxazole;
4-[acetoxy-(2-nitro-4-trifluoromethylphenyl)methyl]-5-cyclopropylisoxazole;
4-[chloro-(2-nitro-4-trifluoromethylphenyl)methyl]-5-cyclopropylisoxazole;
4-[bromo-(2-nitro-4-trifluoromethylphenyl)methyl]-5-cyclopropylisoxazole;
4-[N,N-dimethylamino-(2-nitro-4-trifluoromethylphenyl)methyl]-5-cyclopropylisoxazole;
4-[hydroxy-(2-chloro-4-methanesulphonylphenyl)methyl]-5-cyclopropylisoxazole;
4-[methoxy-(2-nitro-4-trifluoromethylphenyl)methyl]-5-cyclopropylisoxazole;
4-[hydroxy-(2-nitro-4-methylphenyl)methyl]-5-cyclopropylisoxazole;
4-[hydroxy-(2-chloro-3-ethoxy-4-ethanesulphonylphenyl)methyl]-5-methylisoxazole;
4-[hydroxy-(2-chloro-3-ethoxy-4-ethanesulphonylphenyl)methyl]-5-cyclopropylisoxazole;
4-[acetoxy-(2-chloro-4-methanesulphonylphenyl)methyl]-5-cyclopropylisoxazole;
4-[methoxy-(2-chloro-4-methanesulphonylphenyl)methyl]-5-cyclopropylisoxazole;
4-[hydroxy-(2-chloro-4-fluorophenyl)methyl]-5-cyclopropylisoxazole;
4-[hydroxy-(2-trifluoromethyl-4-methanesulphonylphenyl)methyl]-5-cyclopropylisoxazole;
4-[acetoxy-(2-trifluoromethyl-4-methanesulphonylphenyl)methyl]-5-cyclopropylisoxazole;
4-[methoxy-(2-trifluoromethyl-4-methanesulphonylphenyl)methyl]-5-cyclopropylisoxazole;
4-[bromo-(2-trifluoromethyl-4-methanesulphonylphenyl)methyl]-5-cyclopropylisoxazole;
4-[chloro-(2-trifluoromethyl-4-methanesulphonylphenyl)methyl]-5-cyclopropylisoxazole;
4-[trifluoroacetoxy-(2-trifluoromethyl-4-methanesulphonylphenyl) methyl]-5-cyclopropylisoxazole;
4-[hydroxy-(2-methanesulphonylphenyl)methyl]-5-cyclopropylisoxazole;
4-[hydroxy-(2,3-dichloro-4-methanesulphonylphenyl)methyl]-5-(1-methylcyclopropyl)isoxazole;
4-[hydroxy-(2-methanesulphonyl-4-chlorophenyl)methyl]-5-cyclopropylisoxazole;

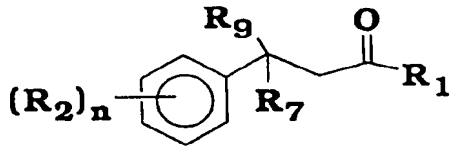
4-[hydroxy-(2-bromo-4-methanesulphonylphenyl)methyl]-5-cyclopropylisoxazole;
4-[hydroxy-(2-methanesulphonyl-4-bromophenyl)methyl]-5-cyclopropylisoxazole;
4-[hydroxy-(2-methyl-4-methanesulphonylphenyl)methyl]-5-cyclopropylisoxazole;
4-[hydroxy-(2-chloro-4-methanethiophenyl)methyl]-5-cyclopropylisoxazole;
4-[hydroxy-(2-methanesulphonyl-4-fluoromethylphenyl)methyl]-5-cyclopropylisoxazole;
4-[hydroxy-(2-chloro-4-methanesulphinylphenyl)methyl]-5-cyclopropylisoxazole;
4-[hydroxy-(2-trifluoromethyl-4-methanethiophenyl)methyl]-5-cyclopropylisoxazole;
4-[hydroxy-(2-fluoro-4-methanesulphonylphenyl)methyl]-5-cyclopropylisoxazole;
4-[hydroxy-(2-methanesulphonyl-4-chlorophenyl)methyl]-5-isopropylisoxazole; or
4-[hydroxy-(2-methanesulphonyl-4-chlorophenyl)methyl]-5-(1-methylcyclopropyl)isoxazole.

4. A process for the prepararation of a compound accordig to claim 1 which comprises:
a) where R_6 is an OH group and R_7 represents the hydrogen atom, the reduction of a compound of general formula (II):



in which the other symbols are as defined in claim 1;
b) where R_6 is an -OH group and R_7 is an R_5 group, the reaction of a compound of general formula (II) with an appropriate organometallic reagent in an inert solvent;
c) where R_6 is an $-OCOR_8$ group, or an -OCO-phenyl-$(R_2)q$ group, or an $-OCH_2$-phenyl-$(R_2)q$ group, or an -O-phenyl-$(R_2)q$ group, or anOCO-Het1 group, or an $-OCONR_3R_4$ group, or an $-OSO_2R_8$ group, or anOSO_2-phenyl-$(R_2)q$ group, or an $-OSO_2NR_3R_4$ group, and R_7 is a hydrogen atom or an R_5 group;
the reaction of a compound of general formula (I) in which R_6 is a hydroxy group and R_7 is hydrogen or an R_5 group, with the corresponding halogen compound in the presence of a suitable base;
d) where R_6 is a chlorine or bromine atom and R_7 is a hydrogen atom or R_5 group, the reaction of a compound of general formula (I) wherein R_6 is a hydroxy group and R_7 is a hydrogen atom or an R_5 group,with a halogenating agent;
e) where R_6 is a halogen atom or a cyano group and R_7 is a hydrogen or R_5 group, by first converting the hydroxyl group R_6 of a compound of general formula (I) in which R_6 is a hydroxyl group and R_7 is a hydrogen atom or R_5 group to a leaving group followed by reaction with an alkali metal halide, a tetraalkylammonium halide or an alkali metal cyanide;
f) where R_6 is an $-OR_5$ group, or an $-SR_5$ group, or a group Het2, or an $-NR_3R_4$ group, the substitution of the halogen atom of a compound of general formula (I) in which R_6 is a halogen atom, with a suitable nucleophile in an inert solvent;.
g) where R_6 is an $-SOR_5$ group or an $-SO_2R_5$ group, the oxidation of the corresponding compound of general formula (I) in which R_6 is an $-SR_5$ group;
h) where R_6 is an $-OR_5$ group or an $-SR_5$ group and R_7 is an $-OR_5$ group or an $-SR_5$ group, or R_6 and R_7 represent a cyclic ketal or cyclic thioketal, the treatment of compounds of general formula (II) with the appropriate alcohol or thiol in an inert solvent in the presence of an acid catalyst;
i) where R_6 represents a group $-COR_3$ in which R_3 excludes hydrogen, the reaction of the corresponding compound in which R_6 represents a cyano group with an organometallic reagent in an inert solvent;
j) where R_6 represents an aldehyde group $-COR_3$ in which R_3 represents hydrogen, the reduction of the corresponding compound in which R_6 represents a cyano group using diisobutylaluminium hydride;
k) where R_6 represents a group $-NR_3COR_5$; the reaction of the corresponding compound in which R_6 represents a group $-NHR_3$ with an acyl compound of formula R_5CO-X wherein X represents a leaving group;
l) where R_6 represents an amide group, $-CONR_3R_4$, the acidic hydrolysis of the corresponding compound in which R_6 represents an ester group $-CO_2R_5$ followed by conversion of the carboxylic acid thus obtained to the corresponding acid chloride; followed by conversion to the amide by treatment with an amine of formula H-NR_3R_4.
m) where R_6 represents a group $-CSNR_3R_4$, reacting compounds in which R_6 represents a group -

$CONR_3R_4$ with Lawesson's reagent, [2,4-bis(4-methoxyphenyl)-1,3-dithia-2,4-diphosetane-2,4-disulfide];
n) where R_6 represents a group selected from R_5; an alkenyl group containing up to 6 carbon atoms which may be optionally substituted by one or more halogen atoms; or a cycloalkyl group containing from 3 to 6 carbon atoms which may be optionally substituted by one or more R_5 groups or one or more halogen atoms or a group $-COOR_5$;
by reaction of a compound of general formula (V):



(V)

wherein R_9 represents a group selected from R_5; an alkenyl group containing up to 6 carbon atoms which may be optionally substituted by one or more halogen atoms; or a cycloalkyl group containing from 3 to 6 carbon atoms which may be optionally substituted by one or more R_5 groups or one or more halogen atoms or a group $-COOR_5$;
with a trialkylorthoformate in the presence of an acid catalyst using a salt of hydroxylamine;
o) where R_6 is $-CO_2R_5$, by hydrolysis of the cyano group of the corresponding compound of general formula (I) in which R_6 is a cyano group to the corresponding carboxylic acid which is subsequently esterified;
and optionally converting the compound of formula (I) thus obtained into an agriculturally acceptable salt thereof.

5. A herbicidal composition which comprises as active ingredient a herbicidally effective amount of a 4-benzyl isoxazole according to any one of claims 1 to 3 or an agriculturally acceptable salt thereof, in association with an agriculturally acceptable diluent or carrier and/or surface active agent.

6. A herbicidal composition according to claim 5 which comprises 0.05 to 90% by weight of above ingredient.

7. A herbicidal composition according to claim 5 or 6 which is in liquid form and contains from 0.05 to 25% of surface-active agent.

8. A herbicidal compositions according to any one of claims 5 to 7 in the form of an aqueous suspension concentrate, a wettable powder, a water soluble or water dispersible powder, a liquid water soluble concentrate, a liquid emulsifiable suspension concentrate, a granule or an emulsifiable concentrate.

9. A method for controlling the growth of weeds at a locus which comprises applying to the locus a herbicidally effective amount of a 4-benzyl isoxazole derivative according to any one of claims 1 to 3 or an agriculturally acceptable salt thereof.

10. A method according to claim 9 in which the locus is an area used, or to be used, for growing of crops and the compound is applied at an application rate from 0.01 kg to 4.0 kg per hectare.

11. A method according to claim 9 in which the locus is an area which is not a crop-growing area and the compound is applied at an application rate from 1,0 kg to 20,0 kg per hectare.

12. An article of manufacture comprising at least one isoxazole derivative according to any one of claims 1 to 3 or a herbicidal composition according to any one of claims 5 to 8, and preferably a herbicidal concentrate which must be diluted before use, comprising at least one of the isoxazole derivatives within a container for the aforesaid derivative or derivatives, or a said herbicidal composition and instructions physically associated with the aforesaid container setting out the manner in which the aforesaid derivative or derivatives or herbicidal composition contained therein is to be used to control the growth of weeds.